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0009807152 *Drawing available*
WPI Acc no: 2000-096836/200008
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1,5 diaryl substituted pyrazoles for treating mammalian host having p38 kinase-or tumor ne factor-mediated disease
Patent Assignee: PHARMACIA CORP (PHAA); SEARLE & CO G D (SEAR)
Inventor: COLLINS P W; CRICH J Z; RAO S N; WEIER R M; XU X; XU X D

Patent Family (5 patents, 85 countries)

Patent Number	Kind	Date	Application Number	Kind	Date	Update	Type
WO 1999058523	A1	19991118	WO 1999US7036	A	19990512	200008	B
AU 199938599	A	19991129	AU 199938599	A	19990512	200018	E
EP 1077971	A1	20010228	EP 1999921363	A	19990512	200113	E
			WO 1999US7036	A	19990512		
JP 2002514640	W	20020521	WO 1999US7036	A	19990512	200236	E
			JP 2000548327	A	19990512		
US 6509361	B1	20030121	WO 1999US7036	A	19990512	200309	E
			US 2001674653	A	20010212		

Priority Applications (no., kind, date): US 2001674653 A 20010212; US 199885494 P 19980

Patent Details

Patent Number	Kind	Lan	Pgs	Draw	Filing Notes
WO 1999058523	A1	EN	156	0	
National Designated States,Original	AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW				
Regional Designated States,Original	AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW				
AU 199938599	A	EN			Based on OPI patent: WO 1999058523
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Regional Designated States,Original	AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE				
JP 2002514640	W	JA	178		PCT Application: WO 1999US7036
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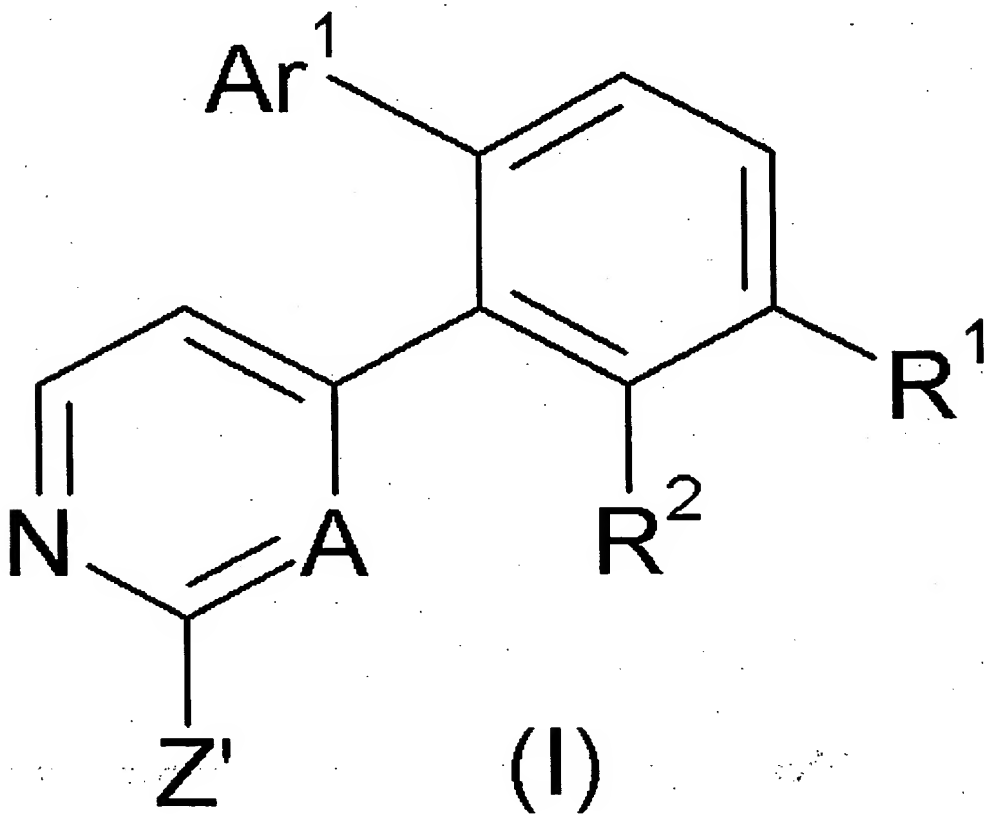
Alerting Abstract WO A1

NOVELTY - 1-aryl-5-(pyridinyl or pyrimidinyl)-pyrazole derivatives (I) and their salts are new.

DESCRIPTION - 1-aryl-5-(pyridinyl or pyrimidinyl)-pyrazole derivatives of formula (I) and their salts are new:



(I)

A= N or CH;

Ar^1= aryl (optionally substituted by one or more of halo, hydrocarbyl, hydrocarbyloxy, nitro, cyano, perfluorohydrocarbyl, trifluoromethylhydrocarbyl, perfluorohydrocarbyloxy, hydroxy, mercapto, hydroxycarbonyl, aryloxy, arylthio, sulfonyl or sulfoxido (where the S atom is substituted by hydrocarbylsulfonylamido, optionally N-substituted by a wide range of specific groups));

Z= H, hydrocarbyl, halo, carboxy, cyano, azido, hydrocarbylsulfonyl, carbonyloxyhydrocarbyl, carbonyl amido or -X-Y;

X= O, S or N(Q);

Y= H, hydrocarbyl or hydrocarbylaryl;

Q= H, hydrocarbyl, hydroxy hydrocarbyl, 2-,3- or 4-pyridylhydrocarbyl or aryl hydrocarbyl;

R^1= azido, H, hydrocarbyl, amido, hydrocarbyl amino, aminohydrocarbyl, perhalohydrocarbyl or aryl (optionally substituted by one or more of a wide range of specific groups);

R^2= azido, H, hydrocarbyl, amido, halo hydrocarbyl, perhalohydrocarbyl, hydrocarbyloxycarbonyl, N-piperazinylcarbonyl, aminocarbonyl or piperazinyl, or aryl substituted by one or more of a wide range of specific groups;

ACTIVITY – Anti-inflammatory; antipyretic; antiarthritic; antirheumatic; osteopathic; dermat
anti-HIV; antiatherosclerotic; thrombolytic; virucide; cytostatic; antidiabetic; antipsoriatic; v
MECHANISM OF ACTION – Inhibits p38 MAP kinase. 100-0.001 muM of (I) was tested for
kinase alpha was used in a concentration of 0.3 muM. 2-(benzylamino)-4-[1-(3-methylpher
of 0.002 muM in the p38 kinase assay.
A TNF cell assay using 7 ml of blood sample was carried out for (II) and was found to have

USE – (I) is used for treating a host mammal having conditions associated with pathologica
for treating inflammation, fever, arthritis, pulmonary disorders or lung inflammation, viral an
influenza, multiple sclerosis, cancer, diabetes, systemic lupus erthrematosis (SLE), skin-rel
preventing production of cyclooxygenase-2.

Title Terms /Index Terms/Additional Words: SUBSTITUTE; TREAT; MAMMAL; HOST; KIN

Class Codes

International Patent Classification

IPC	Class Level	Scope	Position	Status	Version Date
A61K-031/4439; C07D-401/04			Main		"Version 7"
A61K-031/44; A61K-031/496; A61K-031/505; A61K-031/506; A61P-001/04; A61P-001/16; A61P-011/00; A61P-011/06; A61P-013/12; A61P-017/00; A61P-017/02; A61P-017/06; A61P-019/02; A61P-019/10; A61P-027/02; A61P-029/00; A61P-003/10; A61P-031/04; A61P-031/12; A61P-031/18; A61P-033/06; A61P-035/00; A61P-043/00; A61P-007/02; A61P-009/00; A61P-009/02; A61P-009/04; A61P-009/10; C07D-231/12; C07D-401/14; C07D-403/04			Secondary		"Version 7"

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F07; B14-G02; B14-H01; B14-K01; B14-N01; B14-N03; B14-N17; B14-S01; B14-S04

(43)

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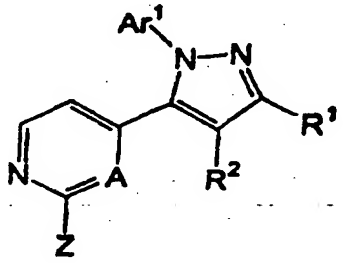
(54) Title: 1,5–DIARYL SUBSTITUTED PYRAZOLES AS p38 KINASE INHIBITORS

(57) Abstract

The present invention contemplates 1,5–diaryl–substituted pyrazole compounds which correspond in structure to Formula (I), or a pharmaceutically–acceptable salt thereof: wherein A is =N– or =CH–; and which inter alia, inhibit the activity of p38 MAP kinase. Also contemplated by the invention are processes for the preparation of the contemplated compounds and for the use of a contemplated compound in treating a mammalian host having a p38 kinase– or TNF–mediated disease.



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